

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
24 December 2003 (24.12.2003)

PCT

(10) International Publication Number  
WO 03/106435 A1

(51) International Patent Classification<sup>7</sup>: C07D 239/91,  
239/70, A61K 31/517, C07D 409/06, 401/06, 471/04,  
403/06, 417/06, 495/04, A61P 3/06

92024 (US). SCHWEIGER, Edwin, J. [US/US]; 4703  
Vereda Luz Del Sol, San Diego, CA 92130 (US). MAR-  
TIN, Richard [CA/US]; 4293 Cordobes Cove, San Diego,  
CA 92130 (US).

(21) International Application Number: PCT/JP03/07677

(22) International Filing Date: 17 June 2003 (17.06.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/389,662 18 June 2002 (18.06.2002) US

(71) Applicants (for all designated States except US):  
SANKYO COMPANY, LIMITED [JP/JP]; 5-1, Nihon-  
bashi Honcho 3-chome, Chuo-ku, Tokyo 103-8426 (JP).  
X-CEPTOR THERAPEUTICS, INC. [US/US]; 4757  
Nexus Centre Drive, Suite 200, San Diego, CA 92121  
(US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): KANEKO, Satoru  
[JP/JP]; c/o SANKYO COMPANY, LIMITED, 2-58,  
Hiromachi 1-chome, Shinagawa-ku, Tokyo 140-8710  
(JP). WATANABE, Tsuyoshi [JP/JP]; c/o SANKYO  
COMPANY, LIMITED, 2-58, Hiromachi 1-chome, Shi-  
nagawa-ku, Tokyo 140-8710 (JP). ODA, Kozo [JP/JP];  
c/o SANKYO COMPANY, LIMITED, 2-58, Hiromachi  
1-chome, Shinagawa-ku, Tokyo 140-8710 (JP). MOHAN,  
Raju [US/US]; 3125 Caminito Ricardo, Encinitas, CA

(74) Agents: NAKAMURA, Minoru et al.; NAKAMURA  
& PARTNERS, Shin-Tokyo Bldg., 3-1, Marunouchi  
3-Chome, Chiyoda-Ku, Tokyo 100-8355 (JP).

(81) Designated States (national): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,  
SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG,  
US, UZ, VC, VN, YU, ZA, ZM, ZW.

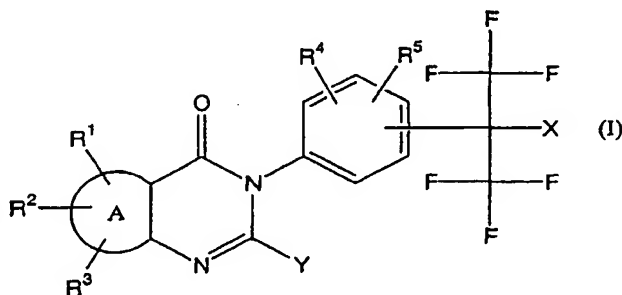
(84) Designated States (regional): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,  
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,  
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: FUSED-RING PYRIMIDIN-4(3H)-ONE DERIVATIVES, PROCESSES FOR THE PREPARATION AND USES THEREOF



(57) Abstract: Abstract Novel compounds of the following formula (I) and pharmacologically acceptable salt and esters thereof can modulate LXR function and as a result show excellent anti-arteriosclerotic and anti-inflammatory activity: wherein: A represents aryl or heteroaryl; R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are the same or different and each represents hydrogen, hydroxyl, nitro, cyano, amino, halogen, carboxy, carbamoyl, mercapto, alkyl, haloalkyl, alkylcarbonyloxy, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, dialkylamino, alkylcarbonylamino, N-(alkylcarbonyl)-N-(alkyl)amino, alkoxycarbonylamino, N-(alkoxycarbonyl)-N-(alkyl)amino, alkylsulfonylamino, N-(alkylsulfonyl)-N-(alkyl)amino, haloalkylsulfonylamino,

N-(haloalkylsulfonyl)-N-(alkyl)amino, alkylcarbonyl, alkoxycarbonyl, alkylaminocarbonyl or dialkylaminocarbonyl group, or R<sub>1</sub> and R<sub>2</sub> together are alkyleneedioxy; R<sub>4</sub> and R<sub>5</sub> are the same or different and each represents hydrogen, hydroxyl, amino, halogen, mercapto, alkyl, haloalkyl, alkoxy, alkoxycarbonyl or alkylthio; X represents hydrogen, hydroxyl, halogen, alkoxy or haloalkyl; and Y represents an optionally substituted alkyl, cycloalkyl, heterocyclyl, aryl, cycloalkylalkyl, heterocyclylalkyl or aralkyl.